10/536,891

=> file casreact
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FILE CONTENT: 1840 - 17 Mar 2007 VOL 146 ISS 12

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L5 104 SEA FILE=CASREACT SSS FUL L3 (435 REACTIONS)

L6 3 SEA FILE=CASREACT L5 AND ZIRCONIU?

=> d 16 1-3 ibib abs fcrd

L6 ANSWER 1 OF 3 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:390922 CASREACT

TITLE: Stereoselective oxidation processes for the

preparation of chiral substituted sulfoxides from the

racemic sulfides

INVENTOR(S): Kumar, Neela Praveen; Khanna, Mahavir Singh; Prasad,

Mohan; Kumar, Yatendra

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	. A	PPLICATION NO.	DATE
WO 2006040635	A1 2006	0420 W	O 2005-IB2946	20051004
W: AE, AG	AL, AM, AT,	AU, AZ, BA,	BB, BG, BR, BW	, BY, BZ, CA, CH,
CN, CO	CR, CU, CZ,	DE, DK, DM,	DZ, EC, EE, EG	, ES, FI, GB, GD,
GE, GH	GM, HR, HU,	ID, IL, IN,	IS, JP, KE, KG	, KM, KP, KR, KZ,
LC, LK	LR, LS, LT,	LU, LV, LY,	MA, MD, MG, MK	, MN, MW, MX, MZ,
NA, NG	NI, NO, NZ,	OM, PG, PH,	PL, PT, RO, RU	, SC, SD, SE, SG,

SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

IN 2004-DE1957 20041011

OTHER SOURCE(S):

MARPAT 144:390922

GΙ

An enantioselective catalytic oxidation process for the preparation of an optically active enantiomer or an enantiomerically enriched form of a substituted pyridinylmethylsulfinylbenzimidazole [I; R1-R4 = H, C1-4 (un)branched alkyl, C1-4 (un)branched alkoxy, aryl, aryloxy], or its pharmaceutically acceptable salts (e.g., esomeprazole potassium), comprises oxidizing a prochiral sulfide (II; e.g., omeprazole sulfide) in the presence of a chiral transition metal complex [e.g., titanium isopropoxide and L-(+)-diethyl tartrate] and a base (e.g., diisopropylethylamine) in the absence of an organic solvent with an oxidant (e.g., cumene hydroperoxide) followed by an optional salification (e.g., potassium hydroxide).

RX(1) OF 3

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{NH} \end{array}$$

1. Ti(OPr-i)4, Di-Et L-tartrate

2. Cumene hydroperoxide, Di-Et L-tartrate, EtN(Pr-i)2

3. KOH, MeOH

K

NOTE: optimization study, stereoselective

STAGE(1) room temperature -> 50 deg C; 1.5 hours; 25 - 30 deg C STAGE(2) 25 - 30 deg C; 3 hours, 25 - 30 deg C STAGE(3) 25 - 35 deg C; 15 - 16 hours, 25 - 35 deg C

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CASREACT COPYRIGHT 2007 ACS on STN ANSWER 2 OF 3

ACCESSION NUMBER:

144:51582 CASREACT

TITLE:

Process for the preparation of pyridin-2-

ylmethylsulfinyl-1H-benzimidazoles via oxidation of

the corresponding sulfides in the presence of

zirconium or hafnium complexes.

INVENTOR(S):

Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S):

Altana Pharma AG, Germany

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 2005118569	A1 20051215	WO 2005-EP52471 20050531
W: AE, AG,	AL, AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO,	CR, CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH,	GM, HR, HU, ID, IL,	IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK,	LR, LS, LT, LU, LV,	MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI,	NO, NZ, OM, PG, PH,	PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM,	SY, TJ, TM, TN, TR,	TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM,	ZW	
RW: BW, GH,	GM, KE, LS, MW, MZ,	NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY,	KG, KZ, MD, RU, TJ,	TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES,	FI, FR, GB, GR, HU,	IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE,	SI, SK, TR, BF, BJ,	CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE,	SN, TD, TG	
AU 2005250175	A1 20051215	AU 2005-250175 20050531

CA 2568652 A1 20051215 CA 2005-2568652 20050531 EP 1758889 A1 20070307 EP 2005-752651 20050531

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

PRIORITY APPLN. INFO.:

EP 2004-102467 20040602 WO 2005-EP52471 20050531

AB A process for preparing mixts. of enantiomers of proton pump inhibitors (PPIs) having a sulfinyl structure comprises oxidation of the corresponding sulfides in the presence of a mixture of enantiomers of chiral zirconium or hafnium complexes. Thus, 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole was heated with DL-tartaric acid bis(N-pyrrolidinamide) and zirconium tetra-n-propoxide in Me iso-Bu ketone at 40° for 1 h followed by addition of diisopropylethylamine and slow addition of cumene hydroperoxide to give 75% 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylsulfinyl]-1H-benzimidazole.

RX(1) OF 1

$$F_2$$
CH- O MeO OMe

(step 1)

- 1. C:23519-77-9, C:871366-86-8, i-BuCOMe, PrOH
- 2. Cumene hydroperoxide, EtN(Pr-i)2
- Na2S2O3, NaHCO3, i-BuCOMe, Water

$$F_2CH-O N S-CH_2 OMe$$

$$75\%$$

NOTE: optimization study

CON: STAGE(1) 1 hour, 40 deg C; 40 deg C -> room temperature STAGE(2) room temperature; 5 - 24 hours, room temperature

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

141:54346 CASREACT

TITLE:

A process for preparing (S)-pantoprazole via

stereoselective oxidation of

pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral

zirconium or hafnium catalyst

INVENTOR(S):

Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S): Altana Pharma Ag, Germany SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

T: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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WO 2004052881
                       A2
                            20040624
                                            WO 2003-EP13604
                                                              20031203
     WO 2004052881
                       A3
                            20041104
             AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN,
             IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US,
             VN, YU, ZA, ZW
         RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
             DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
             SI, SK, TR
     CA 2507889
                            20040624
                                            CA 2003-2507889
                                                              20031203
     AU 2003293749
                            20040630
                       A1
                                            AU 2003-293749
                                                              20031203
     EP 1575941
                       A2
                            20050921
                                            EP 2003-789113
                                                              20031203
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003016702
                       Α
                            20051018
                                            BR 2003-16702
                                                              20031203
     CN 1717402
                       Α
                            20060104
                                            CN 2003-80104409 20031203
     JP 2006514985
                       Т
                            20060518
                                            JP 2005-502309
                                                              20031203
     IN 2005MN00673
                       Α
                            20051021
                                            IN 2005-MN673
                                                              20050627
     US 2006167262
                       A1
                            20060727
                                            US 2005-536891
                                                              20051125
PRIORITY APPLN. INFO.:
                                            EP 2002-27274
                                                              20021206
                                            DE 2003-10340254 20030829
                                            WO 2003-EP13604
                                                              20031203
```

GI

AB The invention relates to a novel process for preparing (S)-pantoprazole (I) via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative

II

Ι

in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst. For instance, the title compound I, useful as proton pump inhibitor, was prepared from thiobenzimidazole derivative II in the presence of L-tartaric acid amide via Zr(IV) isopropoxide catalyzed oxidation by cumene hydroperoxide with a yield of 80% (optical purity was >98%, example 3).

RX(1) OF 1

$$\begin{array}{c|c} H \\ N \\ S - CH_2 \\ \hline N \\ MeO \\ \hline OMe \\ \end{array}$$

(step 1)

1. C:63126-10-3, i-BuCOMe

2. C:23519-77-9, Me2CHOH

EtN(Pr-i)2, Cumene hydroperoxide, S:98-82-8

4. NaHCO3, Na2S2O3, Me2CHOH, Water

NOTE: optimization study, optimized on catalyst, stereoselective

CON: STAGE(1) 40 - 45 deg C STAGE(2) 40 - 45 deg C; 1 hour; 30 deg C

STAGE(3) 20 hours, 30 deg C

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FILE COVERS 1907 - 20 Mar 2007 VOL 146 ISS 13 FILE LAST UPDATED: 19 Mar 2007 (20070319/ED)

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http://www.cas.org/infopolicy.html

=> d que

L7

STR '

Structure attributes must be viewed using STN Express query preparation. STR L8

Structure attributes must be viewed using STN Express query preparation.

2415 SEA FILE=REGISTRY SSS FUL L7 L9 L10 3701 SEA FILE=REGISTRY SSS FUL L8 5309 SEA FILE=CAPLUS L9 AND L10 L11

L12 5 SEA FILE=CAPLUS L11 AND ZIRCONIU?

=> d l12 1-5 ibib abs hitstr

L12 ANSWER 1 OF 5 CAPLUS · COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:365469 CAPLUS

DOCUMENT NUMBER:

144:390922

TITLE:

Stereoselective oxidation processes for the

preparation of chiral substituted sulfoxides from the

racemic sulfides

INVENTOR(S):

Kumar, Neela Praveen; Khanna, Mahavir Singh; Prasad,

Mohan; Kumar, Yatendra

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 23 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		•	KIN	D -	DATE		1	APPL	ICAT	ION I	NO.		D2	ATE	
WO 2006	0406	35		A1.		2006	0420	1	WO 2	005-	IB29	46		2	0051	004
W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕĒ,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	·HU,	ID,	IL,	IN,	ıs,	JP,	ΚE,	KG,	KM,	KΡ,	KR,	ΚZ,
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN, ·
	YU,	ZA,	ZM,	ZW												
RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,

KG, KZ, MD, RU, TJ, TM -

PRIORITY APPLN. INFO.: IN 2004-DE1957 A 20041011

OTHER SOURCE(S): CASREACT 144:390922; MARPAT 144:390922

GI

$$R_1$$
 N
 R_2
 R_3
 R_4
 N
 N

An enantioselective catalytic oxidation process for the preparation of an optically active enantiomer or an enantiomerically enriched form of a substituted pyridinylmethylsulfinylbenzimidazole [I; R1-R4 = H, C1-4 (un)branched alkyl, C1-4 (un)branched alkoxy, aryl, aryloxy], or its pharmaceutically acceptable salts (e.g., esomeprazole potassium), comprises oxidizing a prochiral sulfide (II; e.g., omeprazole sulfide) in the presence of a chiral transition metal complex [e.g., titanium isopropoxide and L-(+)-diethyl tartrate] and a base (e.g., diisopropylethylamine) in the absence of an organic solvent with an oxidant (e.g., cumene hydroperoxide) followed by an optional salification (e.g., potassium hydroxide).

IT 73590-85-9, Omeprazole sulfide

RL: RCT (Reactant); RACT (Reactant or reagent)

(stereoselective oxidation processes for the preparation of chiral substituted

sulfoxides)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

IT 793668-06-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective oxidation processes for the preparation of chiral substituted

sulfoxides)

RN 793668-06-1 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, barium salt (9CI) (CA INDEX NAME)

10/536,891

Absolute stereochemistry. Rotation (-).

●1/2 Ba

IT 161796-81-2P 161796-84-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(stereoselective oxidation processes for the preparation of chiral substituted

sulfoxides)

RN 161796-81-2 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-

pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

K

RN 161796-84-5 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

K

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L12 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
                         2005:1314518 CAPLUS
DOCUMENT NUMBER:
                         144:51582
                         Process for the preparation of pyridin-2-
TITLE:
                         ylmethylsulfinyl-1H-benzimidazoles via oxidation of
                         the corresponding sulfides in the presence of
                         zirconium or hafnium complexes.
                         Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen
INVENTOR (S):
PATENT ASSIGNEE(S):
                         Altana Pharma AG, Germany
                         PCT Int. Appl., 15 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
                                            ------
     WO 2005118569
                         A1
                                20051215
                                           WO 2005-EP52471
                                                                   20050531
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
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             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
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     AU 2005250175
                                            AU 2005-250175
                          A1
                                20051215
                                                                   20050531
     CA 2568652
                                            CA 2005-2568652
                                                                   20050531
                          A1
                                20051215
                                20070307
     EP 1758889
                          A1
                                            EP 2005-752651
                                                                   20050531
            AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
             HR, LV, MK, YU
PRIORITY APPLN. INFO.:
                                            EP 2004-102467
                                                                Α
                                                                   20040602
                                            WO 2005-EP52471
                                                                W
                                                                   20050531
OTHER SOURCE(S):
                         CASREACT 144:51582
     A process for preparing mixts. of enantiomers of proton pump inhibitors
     (PPIs) having a sulfinyl structure comprises oxidation of the corresponding
     sulfides in the presence of a mixture of enantiomers of chiral
     zirconium or hafnium complexes. Thus, 5-difluoromethoxy-2-[(3,4-
     dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole was heated with
     DL-tartaric acid bis(N-pyrrolidinamide) and zirconium
     tetra-n-propoxide in Me iso-Bu ketone at 40° for 1 h followed by
     addition of diisopropylethylamine and slow addition of cumene hydroperoxide to
     give 75% 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylsulfinyl]-
     1H-benzimidazole.
     73590-58-6P 102625-70-7P, 5-Difluoromethoxy-2-[(3,4-
IT
     dimethoxy-2-pyridinyl) methylsulfinyl]-1H-benzimidazole
     103577-45-3P 117976-89-3P
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (claimed compound; preparation of pyridinylmethylsulfinylbenzimidazoles via
        oxidation of the corresponding sulfides in the presence of
        zirconium or hafnium complexes)
     73590-58-6 CAPLUS
RN
CN
     1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-
     pyridinyl) methyl] sulfinyl] - (CA INDEX NAME)
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RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

RN 103577-45-3 CAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

RN 117976-89-3 CAPLUS

CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
H & O \\
N & S - CH_2 \\
N & O - (CH_2)_3 - OMe
\end{array}$$

IT 102625-64-9, 5-Difluoromethoxy-2-[(3,4-dimethoxy-2-

pyridinyl) methylthio] -1H-benzimidazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyridinylmethylsulfinylbenzimidazoles via oxidation of the corresponding sulfides in the presence of zirconium or hafnium complexes)

RN 102625-64-9 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

$$F_2$$
CH $-O$

H
N
N
S-CH₂
N
MeO
OMe

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

6

ACCESSION NUMBER:

2004:515505 CAPLUS

DOCUMENT NUMBER:

141:71546

TITLE:

Process for preparing optically pure

2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and

2-(2-pyridylmethylsulfinyl)-1H-imidazo[4,5-b]pyridine

as proton pump inhibitors (PPI)

INVENTOR(S):

Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S):

Altana Pharma Ag, Germany

SOURCE:

PCT Int. Appl., 20 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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		2004										2003-				- 2	0031	203	
												, EC,							
												, NZ,	•				•	-	
			VN,	YU,	ZA,	ZW													
		RW:	-	-	-		-					, AT,							
						FI,	FR,	GB,	GR,	HU,	ΙE	, IT,	LU,	MC,	NL,	ΡŢ,	RO,	SE,	
				ŞК,		_													
												2003-							
												2003-							
	ΕP	1578	742			A1		2005	0928		ΕP	2003-	7822	88		2	0031	203	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	ΗU,	SK		
	BR	2003	0170	05		Α		2005	1025		BR	2003-	1700	5		2	0031	203	
		1717	403			Α		2006	0104		CN	2003-	8010	4410		١2	0031	203	
								2006	0629		JР	2005-	5023	10		2	0031	203	
	US	2005	2883	34		A1		2005	1229		US	2005-	5367	66		2	0050	527	
	NO	2005	0030	99		Α		2005	0624		NO	2005-	3099			2	0050	624	
	IN	2005	0 0 MM	674		\mathbf{A}_{\cdot}		2005	1021		IN	2005-	MN67	4		2	0050	627	
PRIO	RITY	APP	LN.	INFO	. :						ΕP	2002-	2727	3		A 2	0021	206	
						•					DE	2003-	1034	0255		A 2	0030	829	
											WO	2003-	EP13	605		W 2	0031	203	

AB Described is a process for preparing optically pure PPI having a sulfinyl structure in enantiomerically pure or enantiomerically enriched form by oxidation of the corresponding sulfides in the presence of a chiral zirconium or hafnium complex. Thus, 20.2 g 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole together with 17.9 g di-Et (+)-tartrate, 13.4 g zirconium(IV) isopropoxide/isopropanol complex and 0.1 mL H2O were suspended in 100 mL Me iso-Bu ketone and heated at 40° for one hour to give an almost clear solution After cooling to room temperature, 4.1 mL N-ethyldiisopropylamine

was added, followed by slowly metering 11 mL cumene hydroperoxide, and the

mixture was stirred at room temperature until the oxidation process to give, after
workup, (-)-pantoprazole as a beige powder of m.p. 145° (decomposition)

and an optical purity of >95%. After recrystn. from isopropanol, a clear crystal of m.p. 147-149° (decomposition) with an optical rotation of a

 $D20 = -140^{\circ}$ (c = 0.5, MeOH) was obtained.

IT 119141-88-7P, (S)-5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 119141-89-8P,

(R)-5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-

benzimidazole 138530-94-6P, (R)-2-[[[3-Methyl-4-(2,2,2-trifluoroothory, 2 nyridinyllmethyllqulfinyll 1H, benzim

trifluoroethoxy) -2-pyridinyl] methyl] sulfinyl] -1H-benzimidazole 138530-95-7P, (S) -2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-

pyridinyl]methyl]sulfinyl]-1H-benzimidazole 142678-35-1P

142706-18-1P 161796-78-7P 177795-59-4P,

(S) -2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl]methyl]sulfinyl]-1H-

benzimidazole 177795-60-7P, (R)-2-[[[4-(3-Methoxypropoxy)-3-

methylpyridin-2-yl]methyl]sulfinyl]-1H-benzimidazole

RL: *PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparing optically pure 2-(2-pyridyolmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 119141-88-7 CAPLUS

CN 1H-Benzimidazole, 6-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 119141-89-8 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 138530-94-6 CAPLUS

CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 138530-95-7 CAPLUS

CN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 142678-35-1 CAPLUS

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 142706-18-1 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 161796-78-7 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Na

RN 177795-59-4 CAPLUS

CN 1H-Benzimidazole, 2-[(S)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 177795-60-7 CAPLUS

CN 1H-Benzimidazole, 2-[(R)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors

by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline & \text{N} \\ \text{MeO} & \text{NH} \\ \end{array}$$

102625-64-9 CAPLUS RN

1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-CNpyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:515504 CAPLUS

DOCUMENT NUMBER:

141:54346

TITLE:

A process for preparing (S)-pantoprazole via

stereoselective oxidation of

pyridinylmethylsulfinylbenzimidazole derivative in the

presence of L-tartaric acid derivative and chiral

zirconium or hafnium catalyst

INVENTOR (S):

Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S): Altana Pharma Ag, Germany

SOURCE:

PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.							APPLICATION NO.						DATE			
WO	2004	0528	81		A2		2004	0624							2	0031	203 ·
WO	2004																
	W:	ΑE,	AL,	ΑU,	BA,	BR,	CA,	CN,	CO,	DZ,	EC,	EG,	GE,	HR,	ID,	IL,	IN,
							MA,										
				ZA,		•	•	•	•	•		,	,	,	,	,	,
	DM.	•	•	•		V7	MD	זום	тт	TUM	7.00	ממ	D.C.	CII	CV	O.	DE
	KW.						MD,										
						FR,	GB,	GR,	ΗŲ,	IE,	IT,	ьU,	MC,	ΝL,	PT,	RO,	SE,
		SI,	SK,	TR				•									
CA	2507	889			A1		2004	0624		CA 2	003-	2507	889		2	0031	203
AU	2003	2937	49		A1		2004	0630		AU 2	003-	2937	49		20	0031	203
	1575																
							ES,										
																	PI,
22																	
	2003																
CN	1717	402			Α		2006	0104		CN 2	003-1	80104	4409		20	0031	203
JP	2006	5149	85		${f T}$		2006	0518		JP 2	005-	5023	09		20	0031	203
	2005		673		Α		2005	1021		IN 2	005-1	MN67	3		. 20	0050	527
US	2006	1672															
PRIORIT										EP 2							
1				• •													
										DE 20	UU3	10341	UZJ4	1	3 20	JU301	529

MeO

OTHER SOURCE(S):

GI

CASREACT 141:54346

Ι

П

AB The invention relates to a novel process for preparing (S)-pantoprazole (I) via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative

II

in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst. For instance, the title compound I, useful as proton pump inhibitor, was prepared from thiobenzimidazole derivative II in the presence of L-tartaric acid amide via Zr(IV) isopropoxide catalyzed oxidation by cumene hydroperoxide with a yield of 80% (optical purity was >98%, example 3).

IT 142678-35-1P, (S)-Pantoprazole

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst)

RN 142678-35-1 CAPLUS

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 102625-64-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (S)-pantoprazole via stereoselective oxidation of
pyridinylmethylsulfinylbenzimidazole derivative in the presence of
L-tartaric acid derivative and chiral zirconium or hafnium

catalyst)

102625-64-9 CAPLUS RN

1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-CN pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

$$F_2CH-O$$

H
N
S- CH_2
MeO
OMe

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:594647 CAPLUS

DOCUMENT NUMBER: 137:145224

TITLE: An ATPase inhibitor-containing cosmetic products for

the reduction of sweat acidity

Beck, Jonathan Samuel; Burry, Jason Shaun; Evans, INVENTOR(S):

Richard Livesey; Granger, Dominic; Laprade, Raynald;

Marsolais, Mireille

PATENT ASSIGNEE(S):

Unilever PLC, UK; Unilever NV; Hindustan Lever Limited

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL:	ICAT	ION :	NO.		D.	ATE		
WO	2002	0604	 02	•	A1	-	2002	0808	,	WO 2	 002-:	 EP67	0		2	0020	 121	
	W:	ΑE,	AG,	`AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	ŪĠ,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	ΒE,	CH,	
		CY,	DE,	DK;	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
US	2002	1463	76		A1		2002	1010	•	US 2	002-	6618	3	•	2	0020	131	
US	6509	010			B2		2003	0121										
RIT	Y APP	LN.	INFO	. :						GB 2	001-	2562			A 2	0010	201	

PRIOF

OTHER SOURCE(S): MARPAT 137:145224 A cosmetic method of reducing the acidity of sweat excreted from human eccrine glands comprises the topical application of a vacuolar-type H+-ATPase (V-ATPase) inhibitor to the skin in the vicinity of the eccrine glands. The method may result in a range of benefits, including enhanced appreciation of topically-applied perfume and enhanced efficacy of topically-applied antiperspirant salt. Cosmetic products and compns. comprising a V-ATPase inhibitor and selected other components are also claimed. For example, olygomycin (at 20 µg/mL), bafilomycin A1 (at 6.2 $\mu g/mL)\,,$ and concanamycin A (at 0.1 $\mu g/mL)$ all inhibit proton transfer out of the cells of the reabsorptive duct affecting the pH recovery by 12, 27, and 5%, resp., compared to 100% pH recovery in the control (no V-ATPase inhibitor).

73590-58-6, Omeprazole IT

> RL: COS (Cosmetic use); MOA (Modifier or additive use); BIOL (Biological study); USES (Uses)

10/536,891

(ATPase inhibitor-containing cosmetic products for reduction of sweat acidity)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatall

FILE 'USPATFULL' ENTERED AT 13:12:21 ON 20 MAR 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

4

FILE 'USPAT2' ENTERED AT 13:12:21 ON 20 MAR 2007.
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L7 STR

Structure attributes must be viewed using STN Express query preparation. L8 $\,$ STR $\,$

Structure attributes must be viewed using STN Express query preparation.

L9 2415 SEA FILE=REGISTRY SSS FUL L7

L10 3701 SEA FILE=REGISTRY SSS FUL L8 L11 5309 SEA FILE=CAPLUS L9 AND L10

L13 1000 SEA L10 AND L11

L14 6 SEA L13 AND ZIRCONIU?

=> d l14 1-6 ibib abs hitstr

L14 ANSWER 1 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2007:18065 USPATFULL

TITLE:

Benzimidazole compound

INVENTOR(S):

Miyazawa, Shuhei, Tsukuba, JAPAN Shinoda, Masanobu, Tsukuba, JAPAN Kawahara, Tetsuya, Tsukuba, JAPAN Watanabe, Nobuhisa, Tsukuba, JAPAN. Harada, Hitoshi, Tsukuba, JAPAN Iida, Daisuke, Tsukuba, JAPAN Terauchi, Hiroki, Tsukkuba, JAPAN Nagakawa, Junichi, Tsukuba, JAPAN

Fujisaki, Hideaki, Tsukuba, JAPAN Kubota, Atsuhiko, Tsukuba, JAPAN

Ueda, Masato, Tsukuba, JAPAN

PATENT ASSIGNEE(S):

Eisai Co., Ltd. (non-U.S. corporation)

NUMBER KIND DATE -----US 2007015782 A1 20070118 A1

PATENT INFORMATION: APPLICATION INFO.:

US 2006-403815

20060414 (11)

NUMBER DATE -----

PRIORITY INFORMATION:

JP 2005-117643 20050415

US 2005-675848P 20050429 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

BIRCH STEWART KOLASCH & BIRCH, PO BOX 747, FALLS

CHURCH, VA, 22040-0747, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

LINE COUNT:

7604

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

An object of the present invention is to provide a novel chemical compound useful as a therapeutic or prophylactic agent for acid-related diseases, having an excellent inhibitory effect against gastric acid secretion, an excellent effect of maintaining the inhibitory effect against gastric acid secretion, thereby maintaining intragastric pH high for a long time, and having more safety and appropriate physicochemical Provided is a compound represented by

where R.sup.1 and R.sup.3 may be the same or different and each represent a hydrogen atom or a C1-C6 alkyl group; R.sup.2 represents (5,5-dimethyl-1,3-dioxan-2-yl)methoxy group, 5,7-dioxaspiro[2.5]oct-6ylmethoxy group, 1,5,9-trioxaspiro[5.5]undec-3-ylmethoxy group, or (2,2-dimethyl-1,3-dioxan-5-yl) methoxy group;

R.sup.4, R.sup.5, R.sup.6 and R.sup.7 represent a hydrogen atom, halogen atom, C1-C6 alkyl group, C1-C6 haloalkyl group, C1-C6 alkoxy group or C1-C6 haloalkoxy group; and W.sup.1 represents a single bond, methylene or ethylene group, a salt thereof or a solvate of these.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 913694-83-4P 913694-84-5P 913695-48-4P

(preparation of benzimidazole derivs. as gastric acid secretion inhibitors) RN 913694-83-4 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913694-84-5 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

₽Na

RN 913695-48-4 USPATFULL

CN 1H-Benzimidazole, 2-[[[3-methyl-4-[(2-methyl-1,3-dioxolan-2-yl)methoxy]-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Na

IT 913694-93-6P 913694-95-8P 913694-97-0P

913694-99**-**2P

(preparation of benzimidazole derivs. as gastric acid secretion inhibitors)

RN 913694-93-6 USPATFULL

CN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(1,5,9-trioxaspiro[5.5]undec-3-ylmethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2007:12127 USPATFULL TITLE: Benzimidazole compound

INVENTOR(S): Miyazawa, Shuhei, Tsukuba, JAPAN Shinoda, Masanobu, Tsukuba, JAPAN

Kawahara, Tetsuya, Tsukuba, JAPAN Watanabe, Nobuhisa, Tsukuba, JAPAN Harada, Hitoshi, Tsukuba, JAPAN Iida, Daisuke, Tsukuba, JAPAN Terauchi, Hiroki, Tsukuba, JAPAN Nagakawa, Junichi, Tsukuba, JAPAN Fujisaki, Hideaki, Tsukuba, JAPAN

Kubota, Atsuhiko, Tsukuba, JAPAN Ueda, Masato, Tsukuba, JAPAN

Eisai Co., Ltd. (non-U.S. corporation) PATENT ASSIGNEE(S):

NUMBER KIND -----

PATENT INFORMATION: APPLICATION INFO.:

US 2007010542 A1 20070111 US 2006-520838 A1 20060914 (11)

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 2006-403815, filed

on 14 Apr 2006, PENDING

NUMBER DATE -----

PRIORITY INFORMATION:

JP 2005-117643 20050415

US 2005-675848P 20050429 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

BIRCH STEWART KOLASCH & BIRCH, PO BOX 747, FALLS

CHURCH, VA, 22040-0747, US

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

LINE COUNT: 7599

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

An object of the present invention is to provide a novel chemical compound useful as a therapeutic or prophylactic agent for acid-related diseases, having an excellent inhibitory effect against gastric acid secretion, an excellent effect of maintaining the inhibitory effect against gastric acid secretion, thereby maintaining intragastric pH high for a long time, and having more safety and appropriate physicochemical stability. Provided is a compound represented by ##STR1##

where R.sup.1 and R.sup.3 may be the same or different and each represent a hydrogen atom or a C1-C6 alkyl group; R.sup.2 represents (5,5-dimethyl-1,3-dioxan-2-yl)methoxy group, 5,7-dioxaspiro[2.5]oct-6ylmethoxy group, 1,5,9-trioxaspiro[5.5] undec-3-ylmethoxy group, or (2,2-dimethyl-1,3-dioxan-5-yl) methoxy group;

R.sup.4, R.sup.5, R.sup.6 and R.sup.7 represent a hydrogen atom, halogen atom, C1-C6 alkyl group, C1-C6 haloalkyl group, C1-C6 alkoxy group or C1-C6 haloalkoxy group; and W.sup.1 represents a single bond, methylene or ethylene group, a salt thereof or a solvate of these.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 913694-83-4P 913694-84-5P

(preparation of benzimidazole derivs. as gastric acid secretion inhibitors)

RN 913694-83-4 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913694-84-5 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

IT 913694-89-0P 913694-91-4P 913694-93-6P

913694-95-8P 913694-97-0P 913694-99-2P

(preparation of benzimidazole derivs. as gastric acid secretion inhibitors)

RN 913694-89-0 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[(2,2-dimethyl-1,3-dioxan-5-yl)methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 913694-91-4 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[(2,2-dimethyl-1,3-dioxan-5-yl)methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN913696-36-3 USPATFULL

1H-Benzimidazole, 2-[[[4-[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-dioxolan-4-yl]methoxy]-3-dioxolan-4-yl]methoxy]-3-dioxolan-4-yl]methoxy] -3-dioxolan-4-yl]methoxy] -3-dioxolan-4-yl]methoxyCNmethyl-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 913696-40-9 USPATFULL

CN 1H-Benzimidazole, 2-[[[3-methyl-4-[(1-methyl-2,6,7-trioxabicyclo[2.2.2]oct-4-y1)methoxy]-2-pyridinyl[methyl]thio]- (9CI) (CA INDEX NAME)

L14 ANSWER 3 OF 6 USPATFULL on STN '

ACCESSION NUMBER:

2006:196505 USPATFULL

TITLE:

Process for preparing (s)-pantoprazole

INVENTOR(S):

Kohl, Bernhard, Konstanz, GERMANY, FEDERAL REPUBLIC OF Muller, Bernd, Konstanz, GERMANY, FEDERAL REPUBLIC OF Steffen, Ralf, Weingart, GERMANY, FEDERAL REPUBLIC OF

•	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006167262	A1	20060727	
APPLICATION INFO.:	US 2003-536891	A1	20031203	(10)
	WO 2003-EP13604		20031203	
			20051125	PCT 371 date

		NUMBER	DATE
PRIORITY	INFORMATION:	EP 2002-27274	20021206

DOCUMENT TYPE:

FILE SEGMENT:

Utility

APPLICATION

NATH & ASSOCIATES PLLC, 112 South West Street, LEGAL REPRESENTATIVE:

Alexandria, VA, 22314, US

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

23 1

LINE COUNT:

589

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a novel process for preparing (S)-pantoprazole using a chiral zirconium complex or a chiral hafnium complex.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 142678-35-1P, (S)-Pantoprazole

(preparation of (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst)

RN 142678-35-1 USPATFULL

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 102625-64-9

(preparation of (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst)

RN 102625-64-9 USPATFULL

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

$$F_2CH-O$$

H
N
S- CH_2
N
MeO
OMe

L14 ANSWER 4 OF 6 USPATFULL on STN

ACCESSION NUMBER:

2005:331348 USPATFULL

TITLE:

INVENTOR(S):

Process for preparing optically pure active compounds Kohl, Bernhard, Konstanz, GERMANY, FEDERAL REPUBLIC OF Muller, Bernd, Konstanz, GERMANY, FEDERAL REPUBLIC OF Weingart, Ralf Steffen, Konstanz, GERMANY, FEDERAL

REPUBLIC OF

PATENT ASSIGNEE(S):

Altana Pharma AG, Konstanz, GERMANY, FEDERAL REPUBLIC

OF, 78467 (non-U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 2005288334	A1	20051229		
APPLICATION INFO.:	US 2003-536766	A1	20031203	(10)	
	WO 2003-EP13605		20031203		
			20050527	PCT 371	date

NUMBER DATE

PRIORITY INFORMATION: EP 2002-27273

20021206

DE 2003-10340255

20030829

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

NATH & ASSOCIATES PLLC, 1030 FIFTEENTH STREET, N.W.,

SIXTH FLOOR, WASHINGTON, DC, 20005, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

779

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB

The invention relates to a novel process for preparing an optically pure PPI having a sulfinyl structure using a chiral zirconium

complex or a chiral hafnium complex.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 119141-88-7P, (S)-5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-

pyridinyl) methyl] sulfinyl] -1H-benzimidazole 119141-89-8P,

(R)-5-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-

benzimidazole 138530-94-6P, (R)-2-[[[3-Methyl-4-(2,2,2-

trifluoroethoxy) -2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole

138530-95-7P, (S) -2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-

pyridinyl]methyl]sulfinyl]-1H-benzimidazole 142678-35-1P

142706-18-1P 161796-78-7P 177795-59-4P,

(S)-2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl]methyl]sulfinyl]-1H-

benzimidazole 177795-60-7P, (R)-2-[[[4-(3-Methoxypropoxy)-3-

methylpyridin-2-yl]methyl]sulfinyl]-1H-benzimidazole

(preparing optically pure 2-(2-pyridyolmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 119141-88-7 USPATFULL

CN 1H-Benzimidazole, 6-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

> Absolute stereochemistry. Rotation (-).

119141-89-8 USPATFULL RN

CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 138530-94-6 USPATFULL

CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 138530-95-7 USPATFULL

CN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 142678-35-1 USPATFULL

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

$$F_2CH \xrightarrow{O} N \xrightarrow{N} S \xrightarrow{N} OMe$$

RN 142706-18-1 USPATFULL

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 161796-78-7 USPATFULL

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Na

RN 177795-59-4 USPATFULL

CN 1H-Benzimidazole, 2-[(S)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 177795-60-7 USPATFULL

CN 1H-Benzimidazole, 2-[(R)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

(reactant; preparing optically pure 2-(2-pyridyolmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 73590-85-9 USPATFULL

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

102625-64-9 USPATFULL RN

1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-CN pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

L14 ANSWER 5 OF 6 USPATFULL on STN

ACCESSION NUMBER:

INVENTOR(S):

2002:265504 USPATFULL

TITLE:

Cosmetic products for the reduction of sweat acidity Beck, Jonathan Samuel, Bebington, UNITED KINGDOM

Burry, Jason Shaun, Bebington, UNITED KINGDOM Evans, Richard Livesey, Bebington, UNITED KINGDOM

Granger, Dominic, Montreal, CANADA Laprade, Raynald, Montreal, CANADA Marsolais, Mireille, Montreal, CANADA

PATENT ASSIGNEE(S):

Unilever Home & Personal Care USA, Division of Conopco,

Inc. (non-U.S. corporation)

	NUMBER	KIND	DATE	
11112111 2111 011111111111	US 2002146376 US 6509010	A1 B2	20021010 20030121	
APPLICATION INFO.:	US 2002-66183	A1	20020131	(10)

DATE NUMBER PRIORITY INFORMATION: GB 2001-2562 20010201

DOCUMENT TYPE:

Utility

FILE SEGMENT:

LEGAL REPRESENTATIVE:

APPLICATION UNILEVER, PATENT DEPARTMENT, 45 RIVER ROAD, EDGEWATER,

NJ, 07020

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

26

LINE COUNT:

792

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A cosmetic method of reducing the acidity of sweat excreted from human eccrine glands, said method comprising the topical application of a V-ATPase inhibitor to the skin in the vicinity of the eccrine glands. Said method may result in a range of benefits, including enhanced appreciation of topically-applied perfume and enhanced efficacy of topically-applied antiperspirant salt. Cosmetic products and compositions comprising a V-ATPase inhibitor and selected other components are also claimed.

IT 73590-58-6, Omeprazole

(ATPase inhibitor-containing cosmetic products for reduction of sweat acidity)

RN 73590-58-6 USPATFULL

CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

L14 ANSWER 6 OF 6 USPAT2 on STN

ACCESSION NUMBER: 2002:265504 USPAT2

TITLE

TITLE: Cosmetic products for the reduction of sweat acidity

INVENTOR(S):

Beck, Jonathan Samuel, Wirral, UNITED KINGDOM
Burry, Jason Shaun, Wirral, UNITED KINGDOM
Evans, Richard Livesey, Wirral, UNITED KINGDOM

Granger, Dominic, Montreal, CANADA Laprade, Raynald, Montreal, CANADA Marsolais, Mireille, Montreal, CANADA

PATENT ASSIGNEE(S): Unilever Home & Personal Care USA, division of Conopco,

Inc., Chicago, IL, United States (U.S. corporation)

PATENT INFORMATION: US 6509010 B2 20030121

APPLICATION INFO.: US 2002-66183 20020131 (10)

NUMBER DATE

PRIORITY INFORMATION: GB 2001-2562 20010201 DOCUMENT TYPE: Utility

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Dodson, Shelley A. LEGAL REPRESENTATIVE: Stein, Kevin J.

NUMBER OF CLAIMS: 25 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 636

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A cosmetic method of reducing the acidity of sweat excreted from human eccrine glands, said method comprising the topical application of a V-ATPase inhibitor to the skin in the vicinity of the eccrine glands. Said method may result in a range of benefits, including enhanced appreciation of topically-applied perfume and enhanced efficacy of topically-applied antiperspirant salt. Cosmetic products and compositions comprising a V-ATPase inhibitor and selected other components are also claimed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 73590-58-6, Omeprazole

(ATPase inhibitor-containing cosmetic products for reduction of sweat acidity)

RN 73590-58-6 USPAT2

CN 1H-Benzimidazole, 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

$$\begin{array}{c|c}
N & O & Me \\
N & S - CH_2 & N & Me
\end{array}$$
MeO Me

- >